

Patent Claims

- 5 1. Derivatives of N-methyl-N-[(1S)-1-phenyl-2-((3S)-3-hydroxypyrrolidin-1-yl)ethyl]-2,2-diphenylacetamide with at least one covalently bonded acid, and the salts, solvates and prodrugs thereof.
- 10 2. Derivative according to Claim 1, characterised in that the acid is covalently bonded via the 3-hydroxypyrrolidine group of the N-methyl-N-[(1S)-1-phenyl-2-((3S)-3-hydroxypyrrolidin-1-yl)ethyl]-2,2-diphenylacetamide.
3. Derivative according to Claim 1 or 2, characterised in that the acid is selected from physiologically tolerated acids.
- 15 4. Derivative according to one of Claims 1 to 3, characterised in that the acid is selected from carboxylic acids, hydroxycarboxylic acids and inorganic oxygen acids.
- 20 5. Derivative according to one of Claims 1 to 4, characterised in that the derivative contains at least one acid function which is capable of salt formation or an acid function which is in the form of a salt.
- 25 6. Derivative according to one of Claims 1 to 5, characterised in that the acid is selected from dibasic carboxylic acids, monobasic hydroxycarboxylic acids and at least dibasic inorganic oxygen acids.
- 30 7. Derivative according to Claim 6, characterised in that the monobasic hydroxycarboxylic acid is selected from sugar acids.

8. Derivative according to Claim 7, characterised in that the sugar acid is glucuronic acid.
- 5 9. Derivative according to Claim 6, characterised in that the dibasic inorganic oxygen acid is sulfuric acid.
- 10 10. Derivative according to one of Claims 1 to 9, selected from 6-(1-[(2,2-diphenylethanoyl)methylamino]phenylethyl)pyrrolidin-3-yloxy)-3,4,5-trihydroxytetrahydropyran-2-carboxylic acid, mono-{1-[2-(diphenylacetyl-methylamino)-2-phenylethyl]pyrrolidin-3-yl} sulfate and N-{2-[(3S)-3-acetoxy-1-pyrrolidinyl]-(1S)-1-phenylethyl}-2,2-diphenyl-N-methylacetamide.
- 15 11. Derivative according to one of Claims 1 to 10 and/or a salt, solvate or prodrug thereof as medicament.
12. Derivative according to one of Claims 1 to 10 and/or a salt or solvate thereof as opiate receptor agonist.
- 20 13. Derivative according to one of Claims 1 to 10 and/or a salt or solvate thereof as opiate receptor agonist for the prevention and/or treatment of diseases.
- 25 14. Derivative according to Claim 13, characterised in that the diseases are selected from functional gastrointestinal diseases, inflammatory and non-inflammatory diseases of the gastrointestinal tract, inflammatory and non-inflammatory diseases of the urinary tract, eating and digestive disorders and diseases associated with severe pain or conditions of pain.
- 30 15. Use of a derivative according to one of Claims 1 to 10 and/or a salt or solvate thereof for the preparation of a medicament for the prophylaxis

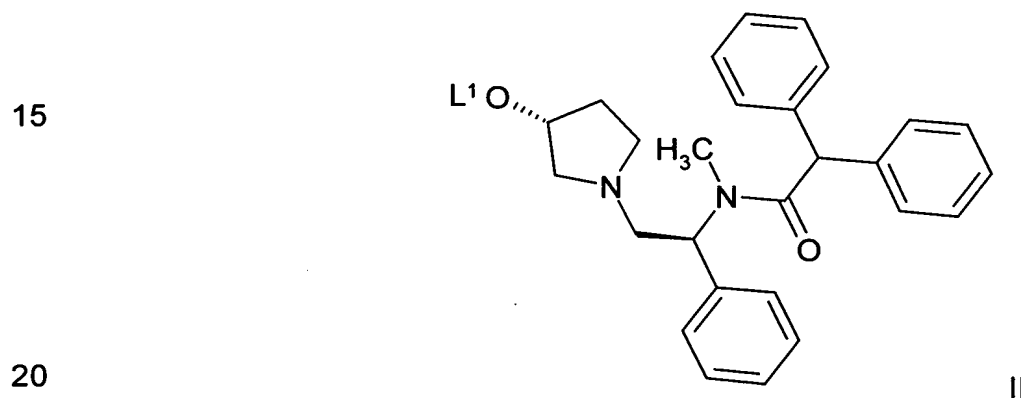
and/or combating of diseases.

- 5 16. Use according to Claim 15, characterised in that the diseases are selected from the diseases mentioned in Claim 14.
- 10 17. Use of a derivative according to one of Claims 1 to 10 and/or a salt or solvate thereof for the preparation of a medicament for the prophylaxis and/or treatment of pain, conditions of pain, ear pain, eye pain, inflammation, ileus, functional gastrointestinal diseases, functional intestinal diseases, inflammatory intestinal diseases, irritable bowel syndrome, irritable bladder syndrome, chronic motility disorders, dyspepsia, neuropathy, adipositas, bulimia, obesity, cachexia, anorexia, dysorexia, dysponderosis, gastroparesis and stenosis of the gastrointestinal tract.
- 15 18. Use of a derivative according to one of Claims 1 to 10 and/or a salt or solvate thereof for the preparation of a medicament for use in combination with one or more pharmaceuticals which act as appetite suppressants.
- 20 19. Process for the preparation of a pharmaceutical composition, characterised in that at least one derivative according to one of Claims 1 to 10 and at least one further compound selected from excipients, adjuvants and pharmaceutical active ingredients which are different from derivatives according to one of Claims 1 to 10 are converted, 25 using one or more mechanical process steps, into a pharmaceutical composition which is suitable as dosage form for administration to patients.
- 30 20. Pharmaceutical composition, characterised in that it comprises at least one derivative according to one of Claims 1 to 10.

21. Pharmaceutical composition according to Claim 20, characterised in that it comprises at least one further pharmaceutical active ingredient.

5 22. Pharmaceutical composition according to Claim 21, characterised in that the further active ingredient is selected from phenylpropanolamine, cathine, sibutramine, amfepramone, ephedrine and norpseudo-ephedrine.

10 23. Process for the preparation of a derivative according to one of Claims 1 to 10, in which
a) a compound of the formula II



in which

L^1 is H or a metal ion;

25 b) is reacted with a compound of the formula III

R^1-L^2

III

in which

30 L^2 is a leaving group, and

5 R^1 is selected from substituted or unsubstituted acyl radicals having from 1 to 12 carbon atoms, alkyl radicals derived from polyhydroxymonocarboxylic acids by removal of a hydroxyl group, sulfonic acid groups, phosphonic acid groups and nitro groups or, if R^1 contains one or more functional groups in addition to the group L^2 ,

10 c) any protecting groups present are cleaved off, if desired the compound of the formula I is isolated,

and optionally

15 d) the resultant compound of the formula I is converted into one of its salts by treatment with an acid or base, and, if desired, the salt is isolated.

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